10/546,132>10/02/2007

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     FILE 'HCAPLUS' ENTERED AT 20:05:54 ON 10 FEB 2007
                E US20060160999/PN 25
L1              1 S E3

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L2              1 S 185954-97-6/RN
L3              1 S 41233-29-8/RN
L4              1 S 88222-72-4/RN
L5              1 S 95548-26-8/RN
L6              1 S 126429-21-8/RN
L7              1 S 185955-17-3/RN
L8              1 S 748165-21-1/RN
L9              7 S L2-L8

     FILE 'HCAPLUS' ENTERED AT 20:09:45 ON 10 FEB 2007
L10           121 S L9
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                E "18955-22-0"/BI,RN 25
                E "185955-22-0"/BI,RN 25
L12            18 S E3 OR E5 OR E16 OR E17 OR E18 OR E19 OR E24 OR E25 OR E28 OR
                E "748165-17-5"/BI,RN 25
L13             1 S E3 OR E5 OR E6 OR E7 OR E8 OR E9 OR E10 OR E11 OR E13 OR E14
L14            19 S L11-13
L15             3 S L10 AND L11

=> s l10 and l14
L16             7 L10 AND L14
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L14 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:69448 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 146:135012
TITLE: E5564 (Eritoran) inhibits lipopolysaccharide-induced cytokine production in human blood monocytes
AUTHOR(S): Czeslick, E.; Struppert, A.; Simm, A.; Sablotzki, A.
CORPORATE SOURCE: Department of Anesthesiology and Critical Care Medicine, Martin Luther University Halle, Halle/Saale, 06120, Germany
SOURCE: Inflammation Research (2006), 55(11), 511-515
CODEN: INREFB; ISSN: 1023-3830
PUBLISHER: Birkhaeuser Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

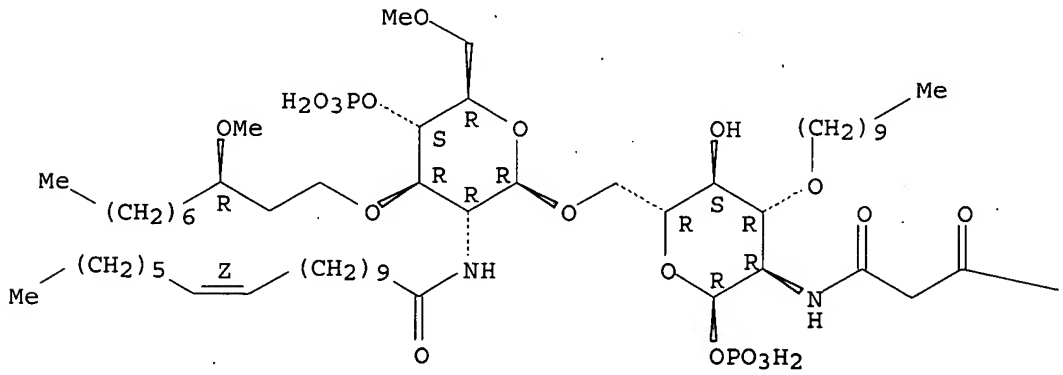
AB Objective and design: In this ex vivo laboratory study, we investigated the effects of E5564 (eritoran), a toll-like receptor 4-directed endotoxin antagonist, on intracellular expression of interleukin (IL)-6 and tumor necrosis factor (TNF)-α in lipopolysaccharide (LPS)-stimulated human monocytes assessed by flow cytometry. Material and method: Whole blood samples from 10 healthy volunteers (average age: 32±2 years) were pre-incubated with 0.001, 0.003, 0.01, 0.03, 0.1, 0.3, 1 and 10ng/mL E5564 for 45 min and after this stimulated with LPS (0.2ng/mL), a dose we the most effective for stimulation. Samples were incubated for 3 h at 37 and 5 % CO2. Intracellular expression of IL-6 and TNF-α was assessed by flow cytometry. Results: Our investigation showed that E5564 (0.03 ng/mL up to 10ng/mL) caused a dose-dependent inhibitory effect on IL-6 and TNF-α production in LPS-stimulated human monocytes. Conclusions: The results of this investigation led us to conclude that E5564 has a remarkable LPS inhibitory activity manifested via down-regulation of the intracellular generation of pro-inflammatory cytokines IL-6 and TNF-α in human monocytes.

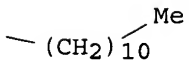
IT 185955-34-4, Eritoran
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(E5564; Eritoran inhibits lipopolysaccharide-induced cytokine production in human blood monocytes)

RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





L14 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:779599 HCAPLUS <<LOGINID::20070210>>
TITLE: Inhibition of Toll-like receptor 4 with eritoran attenuates myocardial ischemia-reperfusion injury
AUTHOR(S): Shimamoto, Akira; Chong, Albert J.; Yada, Masaki; Shomura, Shin; Takayama, Hiroo; Fleisig, Ani J.; Agnew, Matthew L.; Hampton, Craig R.; Rothnie, Christine L.; Spring, Denise J.; Pohlman, Timothy H.; Shimpo, Hideto; Verrier, Edward D.
CORPORATE SOURCE: Department of Thoracic & Cardiovascular Surgery, Mie University Graduate School of Medicine, Tsu, Japan
SOURCE: Circulation (2006), 114(1, Suppl.), I/270-I/274
CODEN: CIRCAZ; ISSN: 0009-7322
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Background-We previously reported that the functional mutation of Toll-like receptor 4 (TLR4) in C3H/HeJ mice subjected to myocardial ischemia-reperfusion (MI/R) injury resulted in an attenuation of myocardial infarction size. To investigate the ligand-activating TLR4 during MI/R injury, we evaluated the effect of eritoran, a specific TLR4 antagonist, on MI/R injury, with the goal of defining better therapeutic options for MI/R injury. Methods and Results-C57BL/6 mice received eritoran (5 mg/kg) i.v. 10 min before 30 min of in situ of transient occlusion of the left anterior descending artery, followed by 120 min of reperfusion. Infarct size was measured using triphenyltetrazoliumchloride staining. A c-Jun NH2-terminal kinase (JNK) activation was determined by Western blotting, nuclear factor (NF)-κB activity was detected by gel-shift assay, and cytokine expression was measured by RNase protection assay. Mice treated with eritoran developed significantly smaller infarcts when compared with mice treated with vehicle alone (21.0±6.4% vs. 30.9±13.9%; P=0.041). Eritoran pretreatment resulted in a reduction in JNK phosphorylation (eritoran vs. vehicle: 3.98±0.81 vs. 7.01±2.21-fold increase; P=0.020), less nuclear NF-κB translocation (2.70±0.35 vs. 7.75±0.60-fold increase; P=0.00007), and a decrease in cytokine expression (P<0.05). Conclusions-We conclude that inhibition of TLR4 with eritoran in an in situ murine model significantly reduces MI/R injury and markers of an inflammatory response.

IT 185955-34-4, Eritoran
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of Toll-like receptor 4 with eritoran reduces infarcts

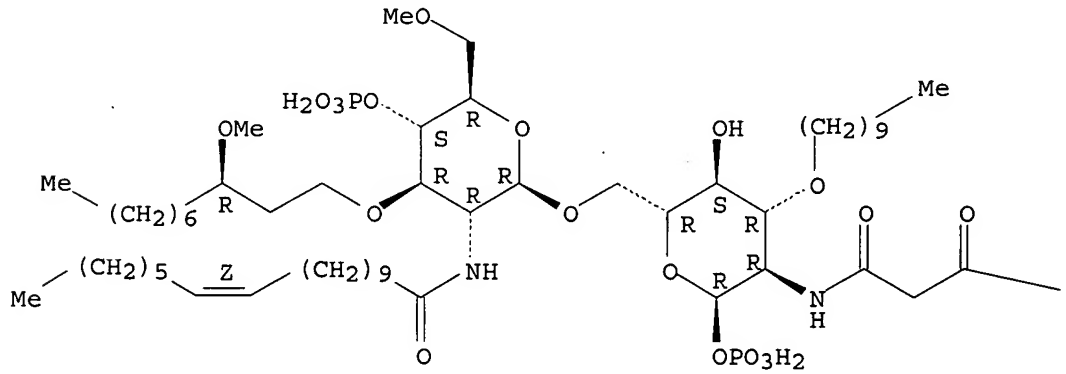
size, c-Jun NH2-terminal kinase phosphorylation, nuclear NF- κB translocation, cytokine expression in myocardial ischemia-reperfusion injury mouse model)

RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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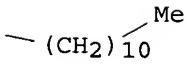


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:374622 HCAPLUS <<LOGINID::20070210>>

DOCUMENT NUMBER: 145:63104

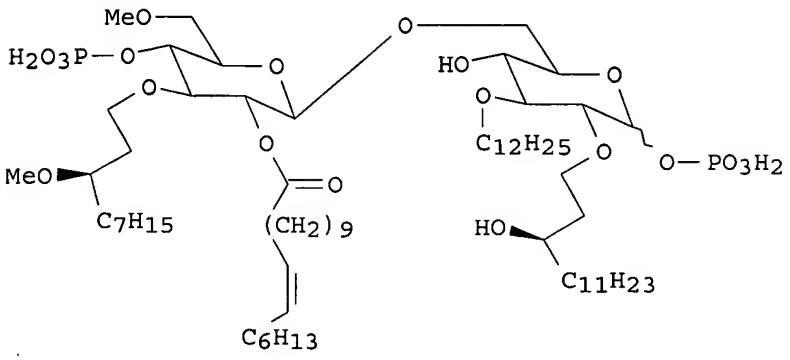
TITLE: Syntheses of glucose derivatives of E5564-related compounds and their LPS-antagonistic activities

AUTHOR(S): Shiozaki, Masao; Iwano, Yuji; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-ichi

CORPORATE SOURCE: Chemistry Department, Chemtech Laboratories, Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan

SOURCE: Carbohydrate Research (2006), 341(7), 811-822
CODEN: CRBRAT; ISSN: 0008-6215

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:63104
GI



I

AB Glucose analogs, e.g. I, of E5564 were synthesized, and their LPS-antagonistic activities were measured. The antagonistic activities (IC50) on LPS-induced TNFα production of these compds. toward human whole blood were in the range of 0.9-72.8 nM. Inhibitory doses (ID50) of title compds. on TNFα production induced by co-injection of galactosamine and LPS in C3H/HeN mice in vivo were in the range of 0.9-1.6 mg/kg.

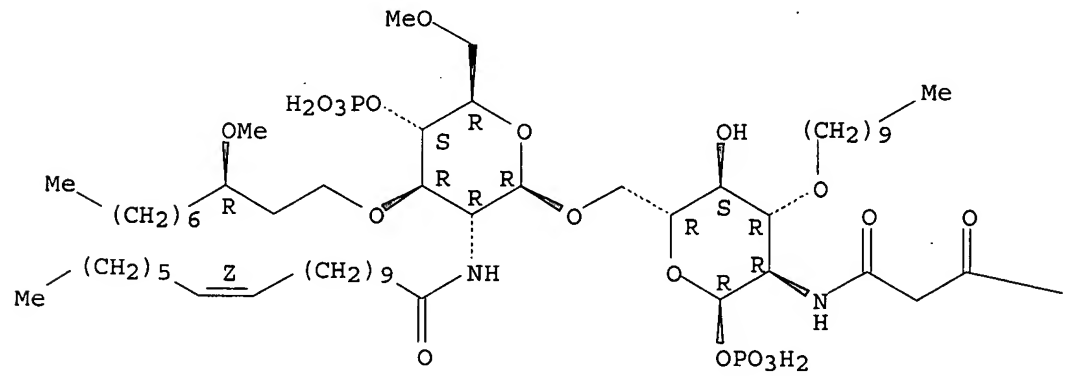
IT 185955-34-4DP, derivs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(syntheses of glucose derivs. of E5564-related compds. and their LPS-antagonistic activities)

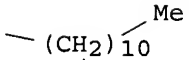
RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:411058 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 142:441922
TITLE: Antiendotoxin compounds for prevention and treatment of endotoxemia and related complications associated with surgery
INVENTOR(S): Lynn, Melvyn
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 6 pp., Cont.-in-part of U.S. Ser. No. 169,628, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005101549	A1	20050512	US 2004-844265		20040512
WO 2001051060	A1	20010719	WO 2001-US1273		20010112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 2004127456	A1	20040701	US 2003-169628		20030507
PRIORITY APPLN. INFO.:			US 2000-176142P	P	20000114
			WO 2001-US1273	W	20010112
			US 2003-169628	B2	20030507

OTHER SOURCE(S): MARPAT 142:441922
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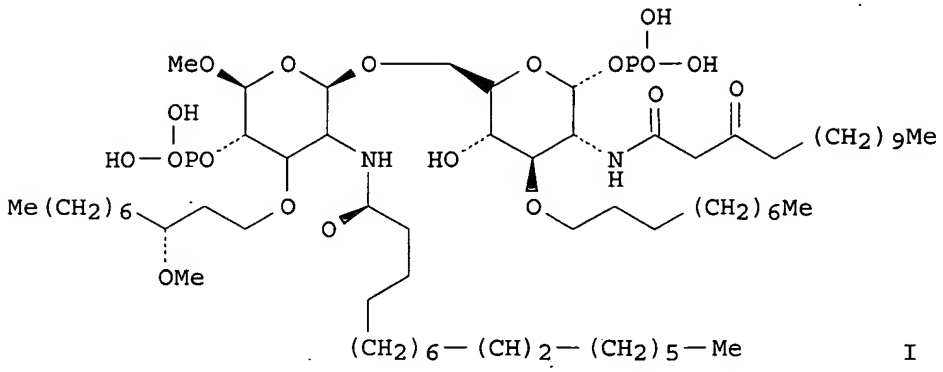


I

AB This invention provides methods of preventing and treating endotoxemia and related complications associated with surgical procedures, such as cardiac surgical procedures, by administration of an antiendotoxin compound especially I.

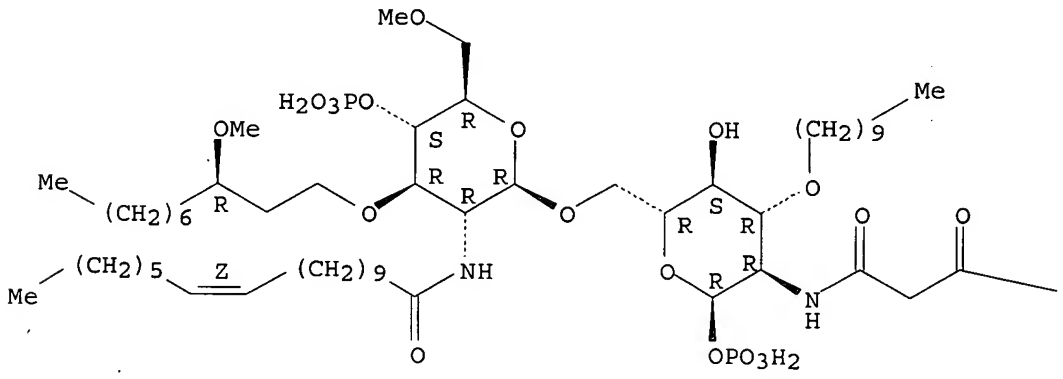
IT 185955-34-4
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiendotoxin compound for prevention and treatment of endotoxemia and related complications associated with surgery)

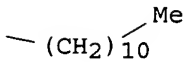
RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



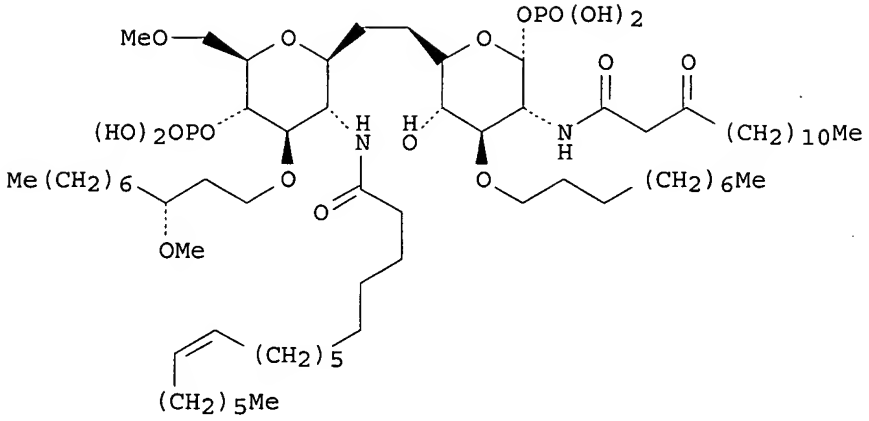


L14 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:283289 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 142:329861
TITLE: Methods using lipopolysaccharides for treating severe acute respiratory syndrome
INVENTOR(S): Rossignol, Daniel P.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005027826	A2	20050331	WO 2004-US22123	20040712
WO 2005027826	A3	20050721		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006276431	A1	20061207	US 2006-331068	20060113

PRIORITY APPLN. INFO.: US 2003-486444P P 20030714
WO 2004-US22123 A1 20040712

OTHER SOURCE(S): MARPAT 142:329861
GI



I

AB The invention provides methods for treating severe acute respiratory syndrome (SARS) with lipopolysaccharides, e.g. I.

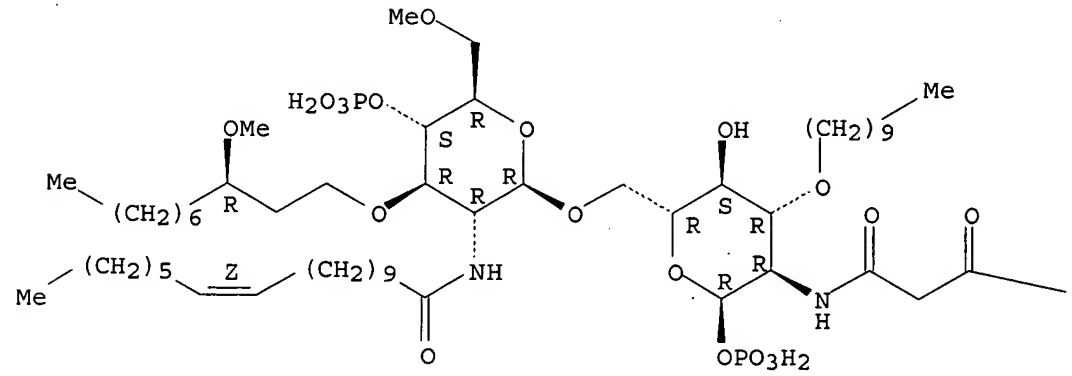
IT 185955-34-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipopolysaccharides for treatment of severe acute respiratory syndrome)

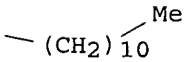
RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





L14 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:756610 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 141:265982
TITLE: Compositions for preventing and treating endotoxin-related diseases
INVENTOR(S): McShane, James
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078142	A2	20040916	WO 2004-US6713	20040305
WO 2004078142	A3	20041223		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004218358	A1	20040916	AU 2004-218358	20040305
CA 2516629	A1	20040916	CA 2004-2516629	20040305
EP 1601661	A2	20051207	EP 2004-718037	20040305
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BR 2004008077	A	20060214	BR 2004-8077	20040305
CN 1780824	A	20060531	CN 2004-80011770	20040305
JP 2006519872	T	20060831	JP 2006-509152	20040305
US 2006222655	A1	20061005	US 2005-547599	20050901
NO 2005004346	A	20051104	NO 2005-4346	20050920
PRIORITY APPLN. INFO.:			US 2003-452022P P	20030305
			WO 2004-US6713 A	20040305

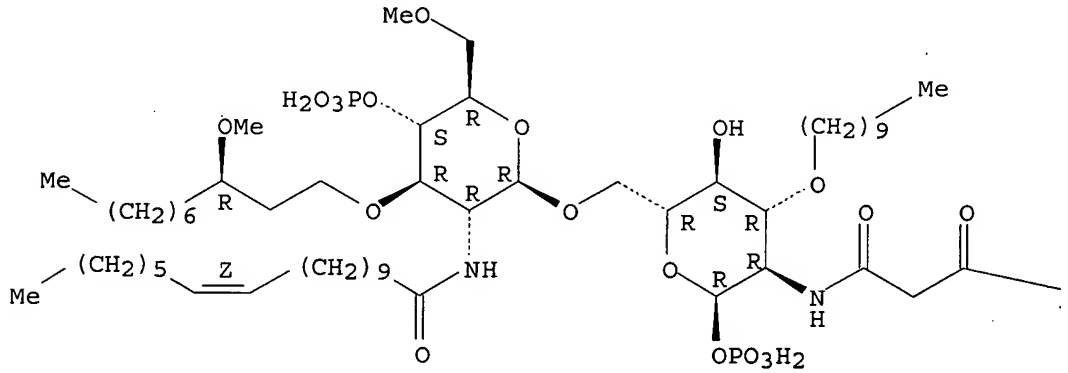
AB The invention provides pharmaceutical compns. for preventing and treating endotoxin-related diseases and conditions, as well as methods for making and using such compns. containing E 5564, and antioxidants, e.g., BHA, BHT, Pr gallate. A single dose is administered by inhalation 1 μg-24 mg. In the case of acute administration the treatment is carried out for days.

IT 185955-34-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for preventing and treating endotoxin-related diseases)

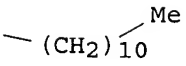
RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L14 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:718552 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 141:225771
TITLE: Reagents and methods for preparing lipopolysaccharides antagonist B1287 and stereoisomers thereof for treatment of various forms of septic shock
INVENTOR(S): Fan, Rulin
PATENT ASSIGNEE(S): Eisai Co, Ltd., Japan
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004074303	A2	20040902	WO 2004-US4921	20040218
WO 2004074303	A3	20041229		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2006518394	T	20060810	JP 2006-503710	20040218
US 2006160999	A1	20060720	US 2005-546132	20051212

PRIORITY APPLN. INFO.:
US 2003-448839P P 20030220
WO 2004-US4921 W 20040218

OTHER SOURCE(S): CASREACT 141:225771; MARPAT 141:225771

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides methods for preparing lipopolysaccharides (LPS) antagonist lipo-disaccharide B1287 and stereoisomers thereof, which compds. are useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock (no biol. data). Also provided are synthetic intermediates useful for implementing the inventive methods. Thus, lipo-disaccharide B1287 I was prepared for treatment of various forms of septic shock.

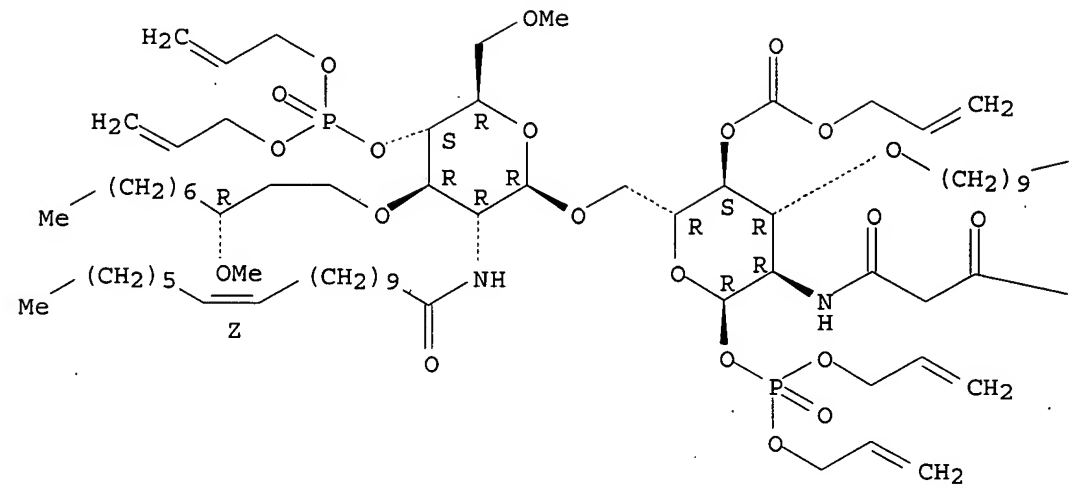
IT 185954-97-6P 185955-22-0P 185955-28-6P
185955-29-7P 185955-32-2P 185955-34-4P
748165-17-5P 748165-18-6P 748165-19-7P
748165-20-0P 748165-22-2P 748165-23-3P
748165-24-4P 748165-25-5P 748165-26-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reagents and methods for preparing lipopolysaccharides antagonist b and stereoisomers thereof for treatment of various forms of septic shock)

RN 185954-97-6 HCAPLUS

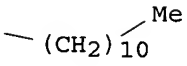
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 1-(di-2-propenyl phosphate) 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

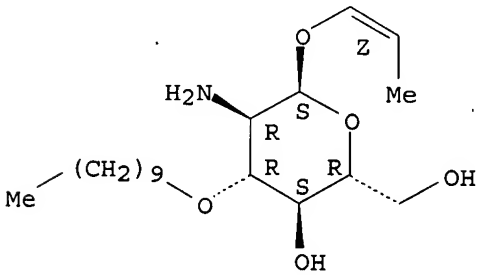


PAGE 1-B



RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

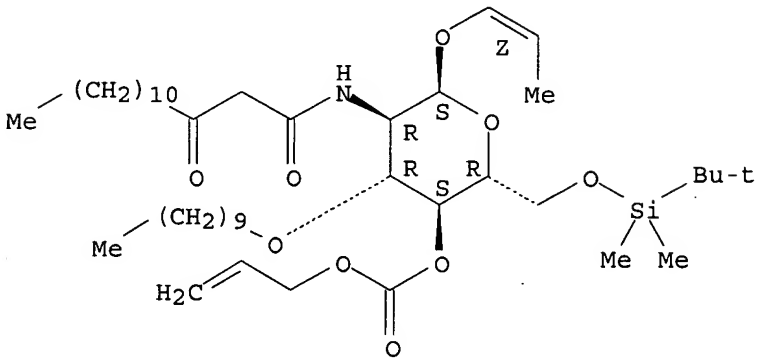
Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-

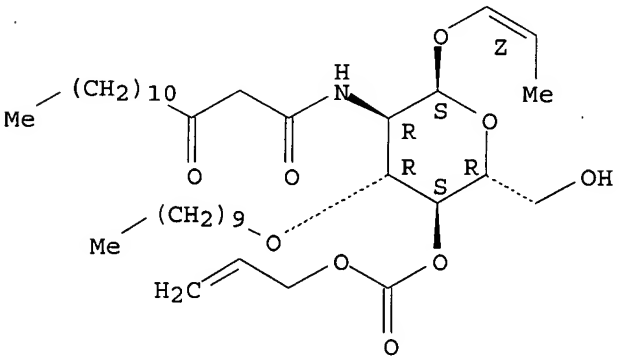
dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

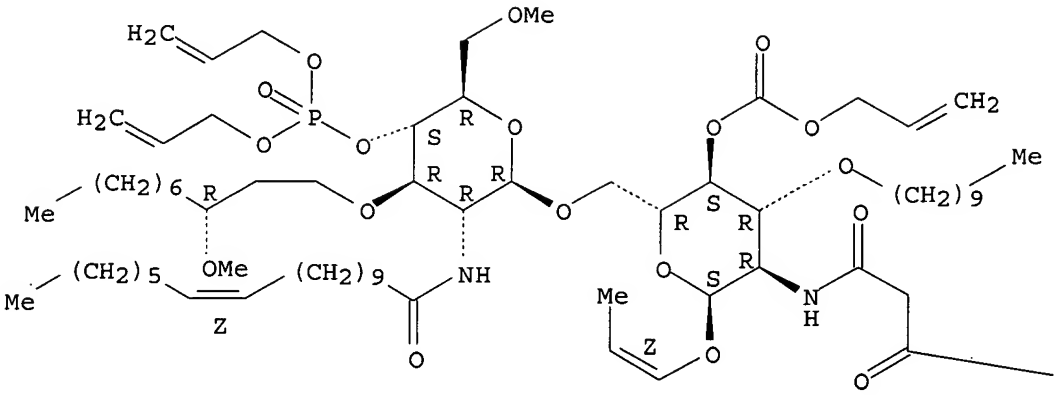
Absolute stereochemistry.
Double bond geometry as shown.



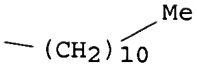
RN 185955-32-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



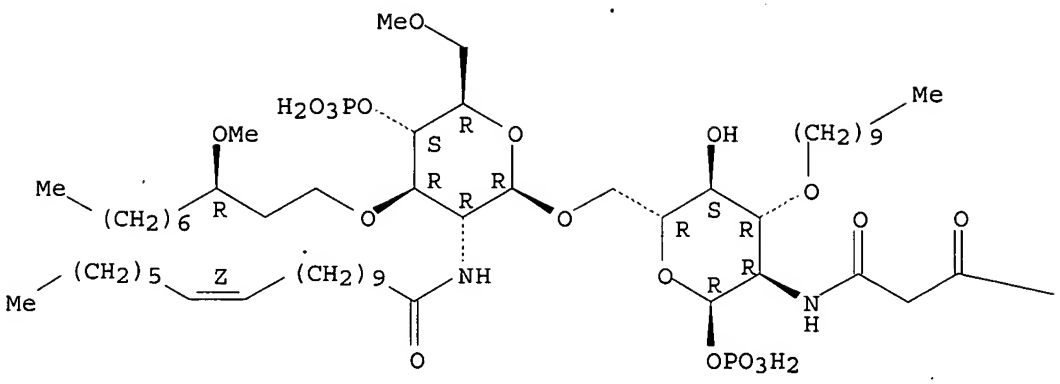
PAGE 1-B



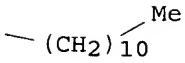
RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

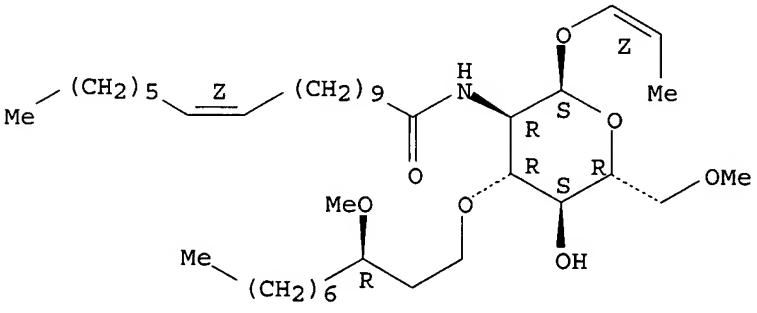


PAGE 1-B



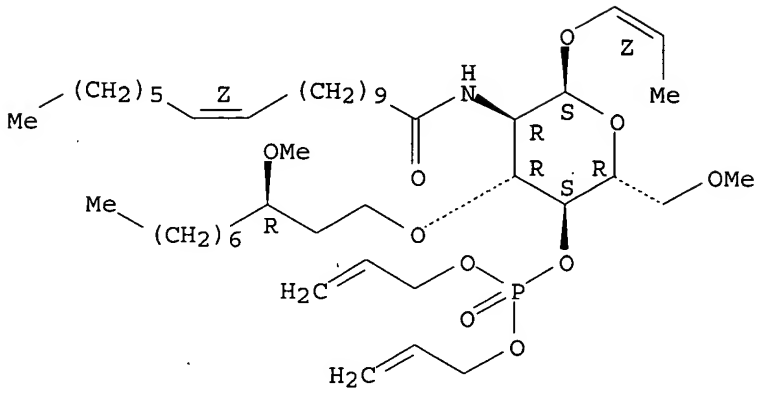
RN 748165-17-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



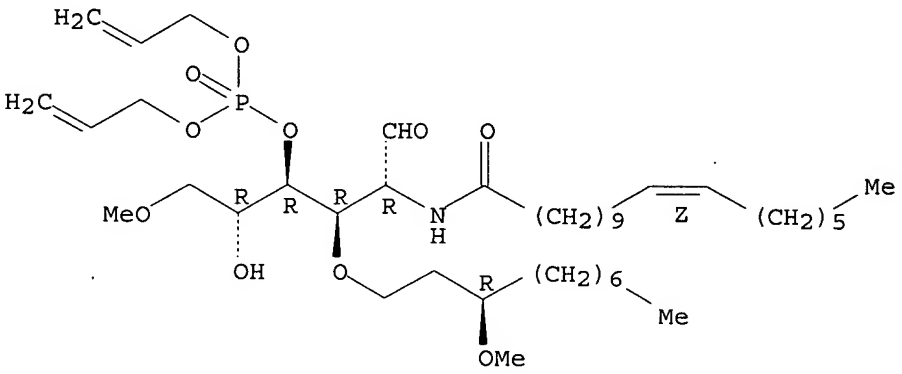
RN 748165-18-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



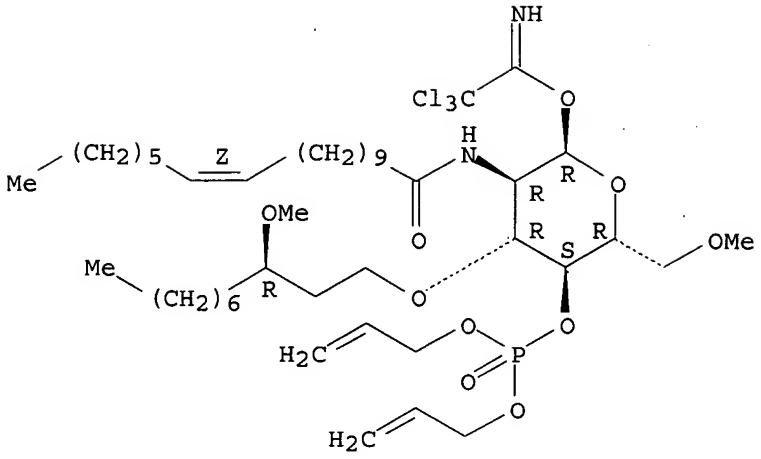
RN 748165-19-7 HCAPLUS
CN D-Glucose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



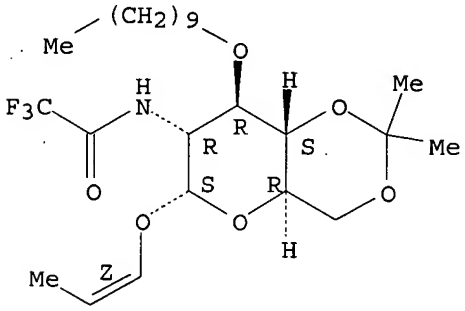
RN ✓ 748165-20-0 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



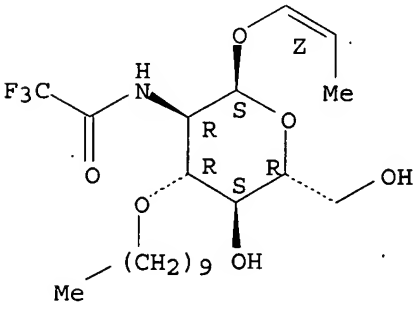
RN 748165-22-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-4,6-O-(1-methylethylidene)-2-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 748165-23-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

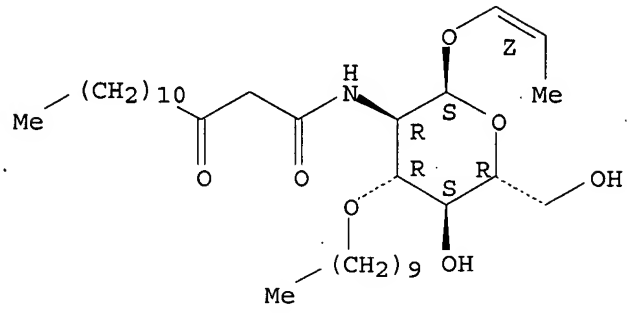
Absolute stereochemistry.
Double bond geometry as shown.



RN 748165-24-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-

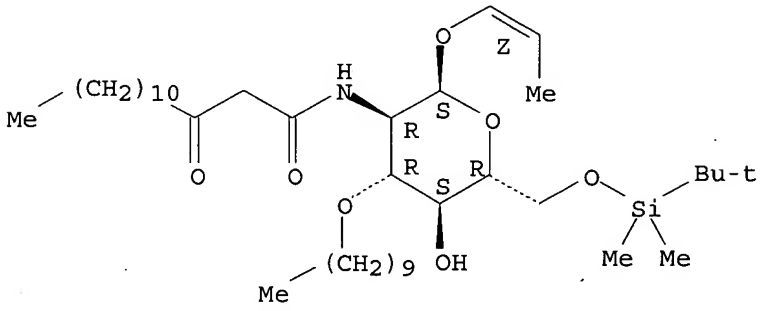
dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 748165-25-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

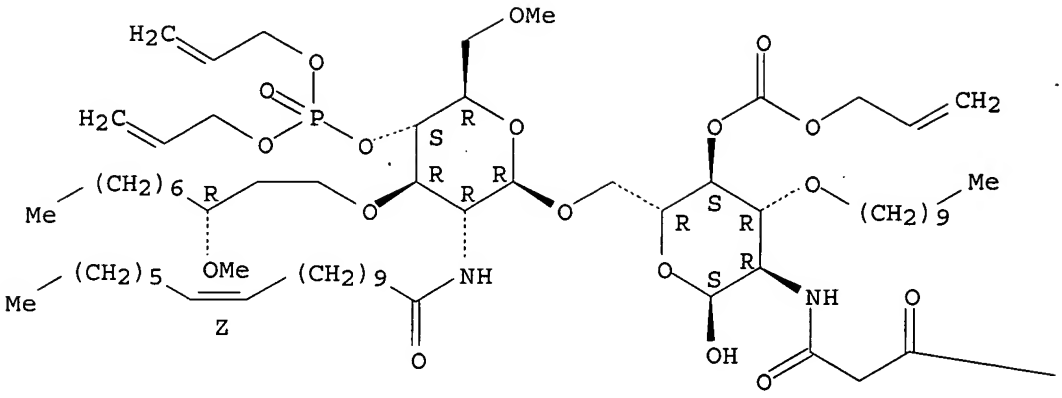
Absolute stereochemistry.
Double bond geometry as shown.



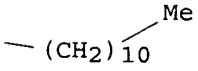
RN 748165-26-6 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L14 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:698142 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 141:200225
TITLE: Methods and kits for use in the diagnosis and treatment of endotoxemia with a toll-like receptor 4 antagonist
INVENTOR(S): Rossignol, Daniel P.; Lynn, Melvyn
PATENT ASSIGNEE(S): Eisai Co., Ltd, Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071465	A2	20040826	WO 2004-US4552	20040212
WO 2004071465	A3	20050210		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2006051821	A1	20060309	US 2005-545136		20050810
PRIORITY APPLN. INFO.:			US 2003-446891P	P	20030212
			WO 2004-US4552	W	20040212

AB The invention provides methods and kits for use in determining whether a patient may benefit from treatment with a toll-like receptor 4 (TLR4) antagonist, e.g. an antiendotoxin compound

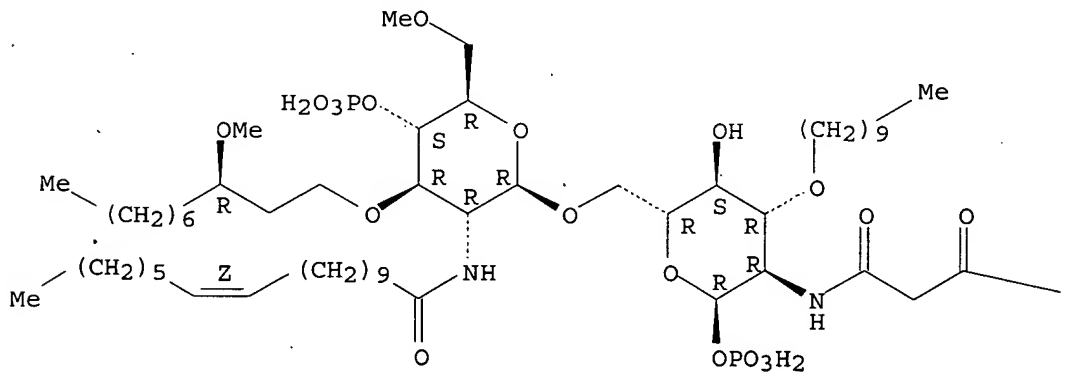
IT 185955-34-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and kits for diagnosis and treatment of endotoxemia with toll-like receptor 4 antagonist)

RN 185955-34-4 HCAPLUS

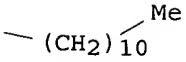
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L14 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:132972 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 138:163604
TITLE: Treatment and prevention of heat shock protein-associated diseases and conditions with Lipid A analogs
INVENTOR(S): Kobayashi, Seiichi; Zhang, Minghuang; Shirota, Hiroshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013440	A2	20030220	WO 2002-US25452	20020812
WO 2003013440	A3	20030703		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1420798	A2	20040526	EP 2002-757067	20020812
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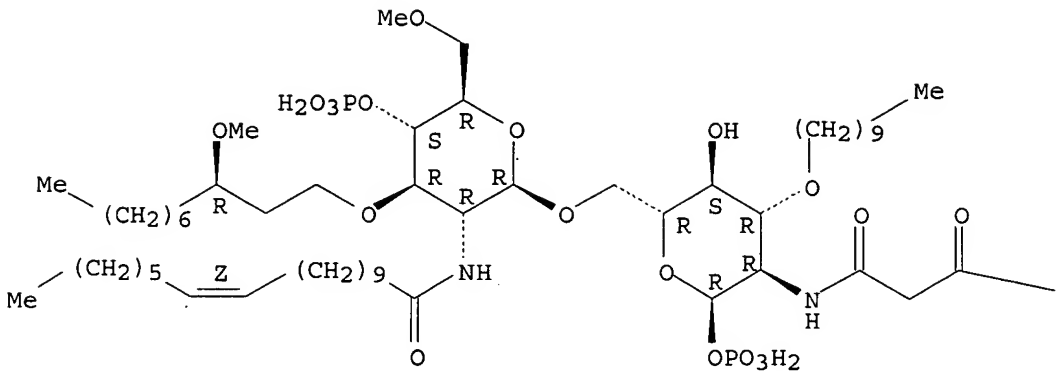
US 2004254128	A1	20041216	US 2004-486455	20040726
PRIORITY APPLN. INFO.:			US 2001-311325P P	20010810
			WO 2002-US25452 W	20020812

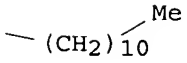
OTHER SOURCE(S): MARPAT 138:163604
AB The invention provides methods of treating and preventing heat shock protein-associated diseases and conditions.
IT 185955-34-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment and prevention of heat shock protein-associated diseases and conditions)
RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L14 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:516677 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 137:57599
TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs
INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 293,856.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6417172	B1	20020709	US 1999-449601	19991123
US 5750664	A	19980512	US 1995-461675	19950605
US 5935938	A	19990810	US 1996-658656	19960605
US 6184366	B1	20010206	US 1999-293856	19990416
CA 2392356	A1	20010531	CA 2000-2392356	20001122
WO 2001037843	A1	20010531	WO 2000-US32177	20001122

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HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

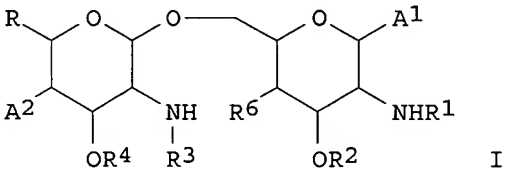
EP 1248629	A1	20021016	EP 2000-980723	20001122
EP 1248629	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514862	T	20030422	JP 2001-539457	20001122
AT 287719	T	20050215	AT 2000-980723	20001122
ES 2237475	T3	20050801	ES 2000-980723	20001122
US 2003134805	A1	20030717	US 2002-167222	20020611
US 6683063	B2	20040127		
HK 1051490	A1	20050422	HK 2003-102773	20030416

PRIORITY APPLN. INFO.:

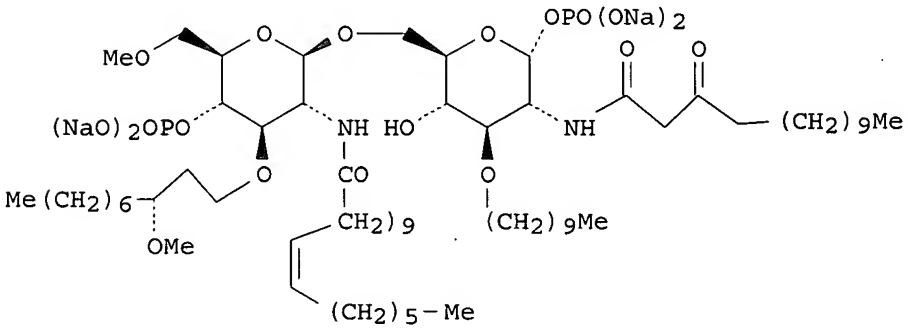
US 1995-461675	A2	19950605
US 1996-658656	A1	19960605
US 1999-293856	A2	19990416
US 1999-449601	A	19991123
WO 2000-US32177	W	20001122

OTHER SOURCE(S): MARPAT 137:57599

GI



I



II

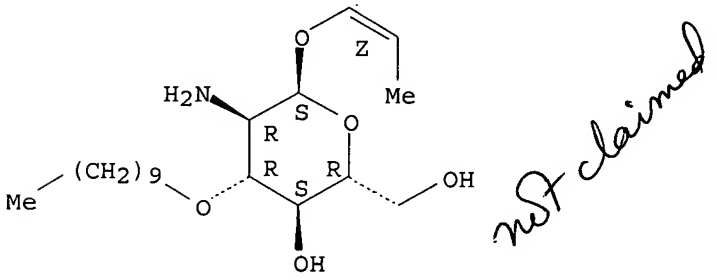
AB Disaccharide compds. I, wherein R is H, CH2OH, alkoxide; R1 is acyl; R2 is C5-C15 alkyl R3 is C5-C18 alkyl, acyl, R4 is C4-C20 alkyl, oxyalkyl; A1 and A2 are independently OH, phosphate, phosphonate, ester; were prepared for and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. Thus, disaccharide lipid II was prepared and tested in mice and suppressed the production of TNF following administration of LPS.

IT 185955-22-0P 185955-28-6P 185955-29-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs such as disaccharide lipid A analogs in relation to inhibition of cytokine production)

RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

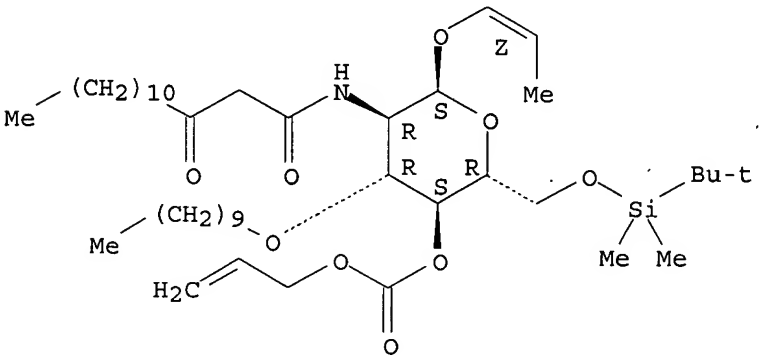
Absolute stereochemistry.
Double bond geometry as shown.



not claimed

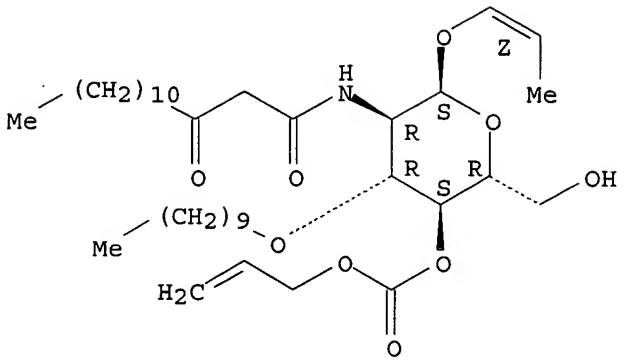
RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

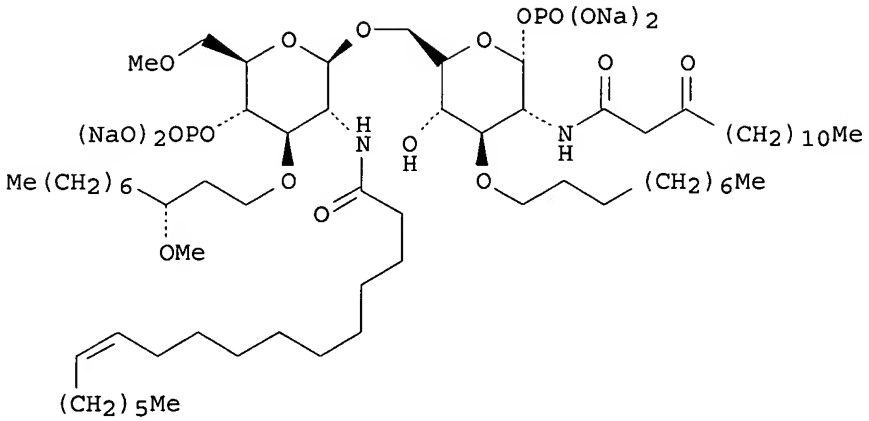


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:617837 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 135:185478
TITLE: Micelles for drug delivery
INVENTOR(S): McShane, James; Arens, Tori; Kaneko, Kazuhiro; Watanabe, Tomohiro; Ashizawa, Kazuhide
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001060382	A1	20010823	WO 2001-US5297		20010220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2400371	A1	20010823	CA 2001-2400371		20010220
EP 1274443	A1	20030115	EP 2001-910952		20010220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003522797	T	20030729	JP 2001-559478		20010220
US 2003216331	A1	20031120	US 2002-204227		20021003
US 6906042	B2	20050614			
US 2005181039	A1	20050818	US 2005-106654		20050415
PRIORITY APPLN. INFO.:			US 2000-183768P	P	20000218
			WO 2001-US5297	W	20010220
			US 2002-204227	A1	20021003

OTHER SOURCE(S): MARPAT 135:185478
GI



I

AB The present invention provides micelles, solns. comprising micelles, methods for preparing micelles, and methods for delivering micelles to patients. The micelles have fixed, preselected hydrodynamic diams. and are formed from basic or acidic amphiphilic compds. Micelles were prepared from E5564 (I) and NaOH solution

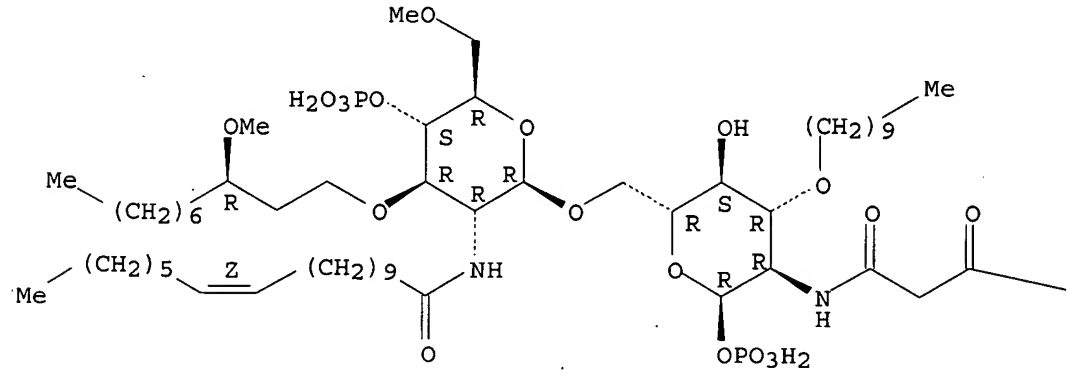
IT 185955-34-4, E5564
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(micelles for drug delivery)

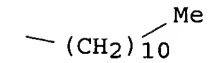
RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



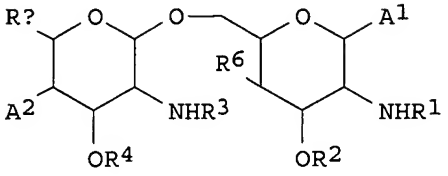


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:525928 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 135:117254
TITLE: Antiendotoxin compound for prevention and treatment of endotoxemia and related complications associated with surgery
INVENTOR(S): Lynn, Melvyn
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001051060	A1	20010719	WO 2001-US1273		20010112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2392731	A1	20010719	CA 2001-2392731		20010112
EP 1250141	A1	20021023	EP 2001-942301		20010112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003524638	T	20030819	JP 2001-551484		20010112
US 2004127456	A1	20040701	US 2003-169628		20030507
US 2005101549	A1	20050512	US 2004-844265		20040512
PRIORITY APPLN. INFO.:			US 2000-176142P	P	20000114
			WO 2001-US1273	W	20010112
			US 2003-169628	B2	20030507

OTHER SOURCE(S): MARPAT 135:117254
GI



I

AB The invention provides methods of preventing and treating endotoxemia and related complications associated with surgical procedures, e.g. cardiac surgical procedures, by administration of an antiendotoxin compound, e.g. I.

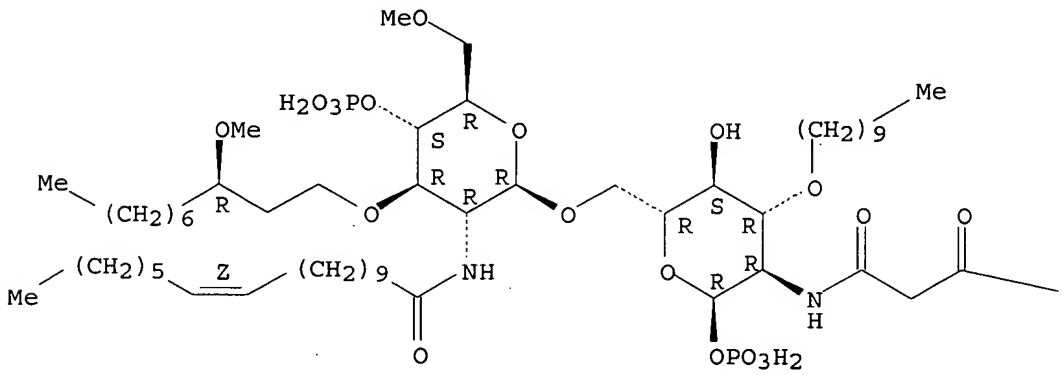
IT 185955-34-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiendotoxin compound for prevention and treatment of endotoxemia and related complications associated with surgery)

RN 185955-34-4 HCAPLUS

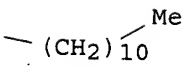
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:396678 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 135:528
TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs
INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037843	A1	20010531	WO 2000-US32177	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6417172	B1	20020709	US 1999-449601	19991123
CA 2392356	A1	20010531	CA 2000-2392356	20001122
EP 1248629	A1	20021016	EP 2000-980723	20001122
EP 1248629	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514862	T	20030422	JP 2001-539457	20001122
AT 287719	T	20050215	AT 2000-980723	20001122
HK 1051490	A1	20050422	HK 2003-102773	20030416

PRIORITY APPLN. INFO.:

US 1999-449601	A2	19991123
US 1995-461675	A2	19950605
US 1996-658656	A1	19960605
US 1999-293856	A2	19990416
WO 2000-US32177	W	20001122

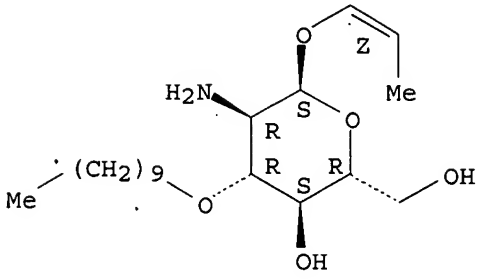
OTHER SOURCE(S): MARPAT 135:528

AB The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient antiendotoxin compds. by inhalation.

IT 185955-22-0P 185955-28-6P 185955-29-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs such as lipid A analogs in relation to inhibition of cytokine production)

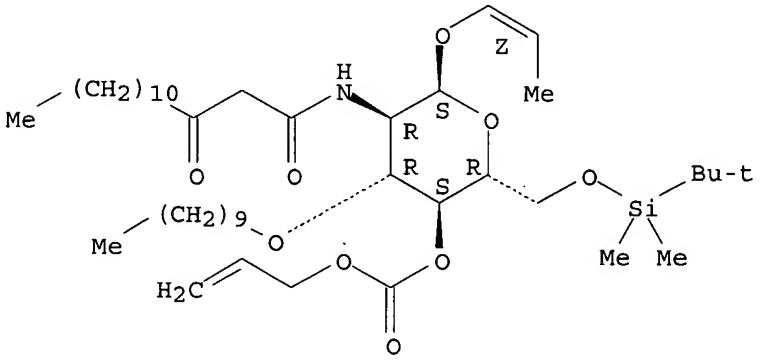
RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



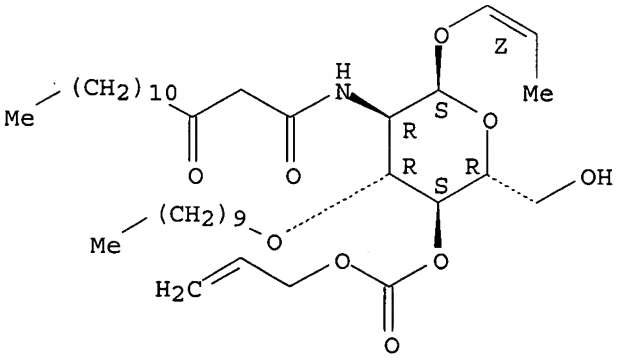
RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:493384 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 133:109978
TITLE: Administration of an anti-endotoxin drug by intravenous infusion
INVENTOR(S): Rossignol, Daniel P.; Lynn, Melvyn; Kerns, William D.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041703	A1	20000720	WO 2000-US1043	20000114
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359478	A1	20000720	CA 2000-2359478	20000114
EP 1158990	A1	20011205	EP 2000-904375	20000114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002534471	T	20021015	JP 2000-593313	20000114
US 2003130212	A1	20030710	US 2002-171465	20020613
US 2005215517	A1	20050929	US 2004-10550	20041213

PRIORITY APPLN. INFO.:

US 1999-116202P	P	19990114
WO 2000-US1043	W	20000114
US 2001-889274	B2	20010712
US 2002-171465	A1	20020613
WO 2003-US18678	A1	20030613

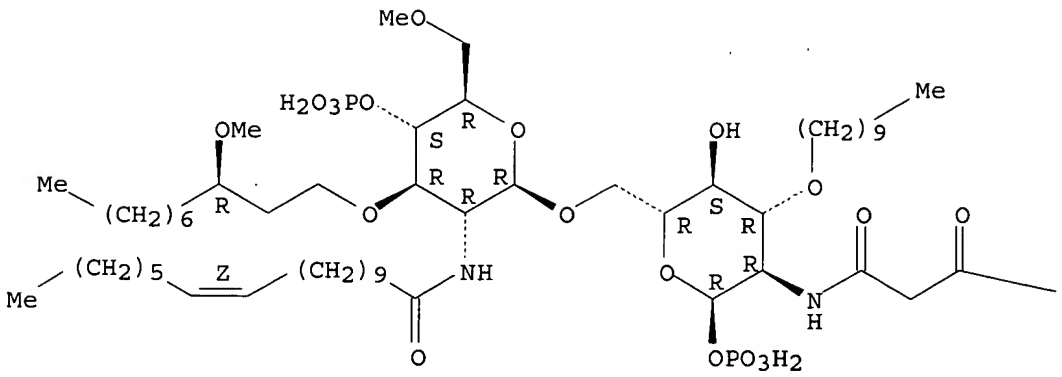
AB The invention provides methods for administering an anti-endotoxin drug, E5564, by i.v. infusion. The methods can be used for treating conditions such as endotoxemia, sepsis, and septic shock. A pharmaceutical vial contained E5564 100 μg, sodium dihydrogen phosphate tetrahydrate 0.35, sodium hydroxide 0.06, lactose 100, disodium hydrogen phosphate heptahydrate 0.45 mg, and water q.s. 1 mL. Administration of 0.1 mg/kg E5564 to dogs was completely effective in blocking lipopolysaccharide challenge.

IT 185955-34-4, E 5564
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of anti-endotoxin drug by i.v. infusion)

RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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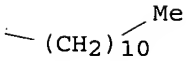


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:161554 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 132:212838
TITLE: A method for evaluating lipid A analog-containing injections
INVENTOR(S): Kaneko, Kazuhiro; Watanabe, Tomohito; Asai, Yasuyuki; Sano, Yoshihisa; Kikuchi, Kiyomi; Kushida, Ikuo; Ashizawa, Kazuhide
PATENT ASSIGNEE(S): Eisai Co., Ltd, Japan
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000013029	A1	20000309	WO 1999-JP4615	19990826
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1111390	A1	20010627	EP 1999-940501	19990826

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

US 6828155	B1	20041207	US 2001-786060		20010301
PRIORITY APPLN. INFO.:			JP 1998-246862	A	19980901
			WO 1999-JP4615	W	19990826

OTHER SOURCE(S): MARPAT 132:212838

AB A method is provided for evaluating the pharmaceutical injections containing lipid A analog or its pharmacol. acceptable salt by measuring their membrane fluidity and/or CD. This method is utilized upon manufacturing these injections. Methods are also provided for predicting and evaluating the in vivo dynamics of the lipid A analog with respect to these injections by measuring their membrane fluidity and/or CD. The membrane fluidity is measured by a fluorescence probe method using an order parameter (S) and/or a fluorescence polarity (P) and/or a fluorescence anisotropy. The sodium hydroxide concentration used upon dissolving a lipid A analog for injections was optimal at 0.001-0.01M for the guaranteed in vivo dynamics based on these parameters.

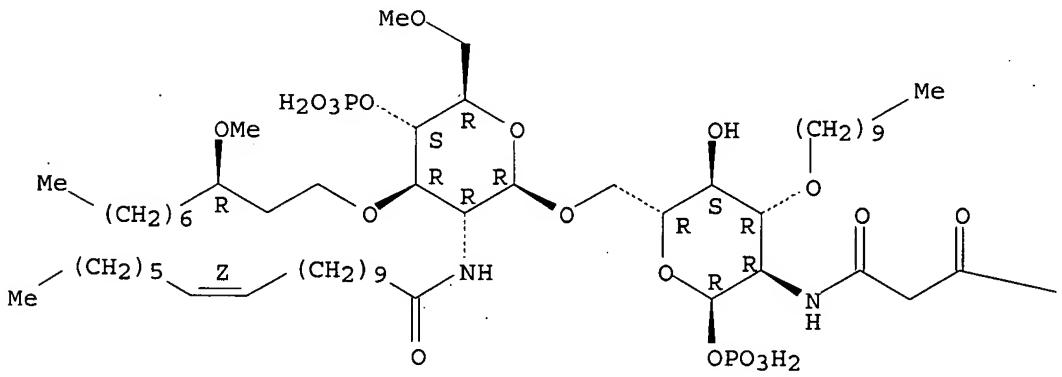
IT 185955-34-4
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for evaluating lipid A analog-containing injections)

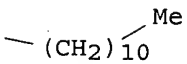
RN 185955-34-4 HCAPLUS

CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:779618 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 132:216469
TITLE: Quantitative determination of a potent lipopolysaccharide antagonist, E5564, in rat and dog plasma by high-performance liquid chromatography with fluorescence detection
AUTHOR(S): Kaneko, K.; Ueda, R.; Kikuchi, K.; Sano, Y.; Yoshimura, T.
CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co. Ltd., Ibaraki, Japan
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (1999), 736(1 + 2), 67-75
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

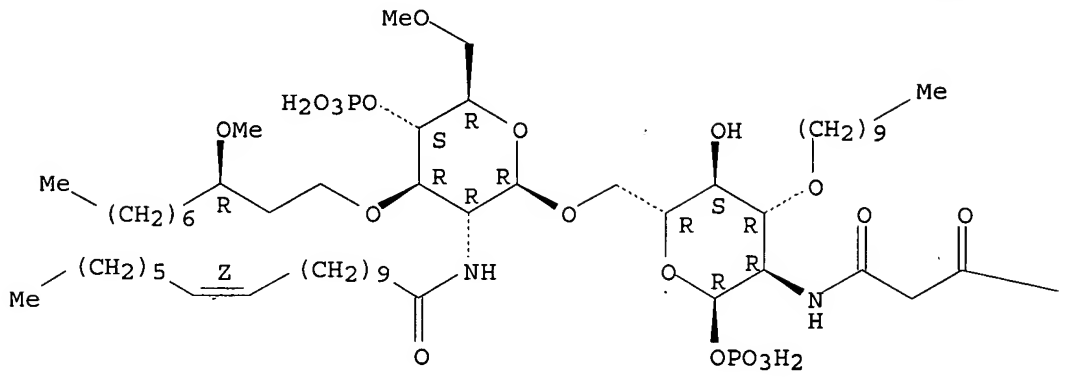
AB The assay method was established for the quantification of a potent lipopolysaccharide (LPS) antagonist, E5564, in rat and dog plasma using HPLC. E5564 and the I.S. (an analog of E5564) were extracted and derivatized with 9-anthryldiazomethane (ADAM reagent) to be given fluorescence. LC-MS anal. indicated that single mol. of E5564 was coupled with two mols. of ADAM reagent at one on each of the phosphorus groups. After solid-phase extraction, ADAM derivs. of E5564 and the I.S. were separated on an ODS column using methanol/ethanol containing sodium acetate as a mobile phase at 1.2 mL/min (gradient elution), and detected by a fluorescence detector (excitation: 254 nm, emission: 415 nm). The intra-day and inter-day precision were less than 14.4%, and accuracy were within ±13.0% in the concentration range of 30 to 20,000 ng/mL plasma in both species. E5564 was stable for at least 13 days in rat and dog plasma at -20°, and the processed sample was stable for up to 14 days at 4°. This validated method was successfully applied to the evaluation of the pharmacokinetics of E5564 in rats and dogs after single bolus i.v. doses.

IT 185955-34-4
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(determination and pharmacokinetics of a potent lipopolysaccharide antagonist, E5564, in blood by HPLC with fluorescence detection)

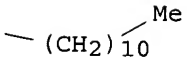
RN 185955-34-4 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-6-O-[2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-4-O-phosphono-β-D-glucopyranosyl]-2-[(1,3-dioxotetradecyl)amino]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:505657 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 131:130224
TITLE: Substituted liposaccharides useful in the treatment and prevention of endotoxemia
INVENTOR(S): Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata, Tsutomu
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5935938	A	19990810	US 1996-658656	19960605
US 5681824	A	19971028	US 1995-461677	19950605

US 5750664	A	19980512	US 1995-461675		19950605
CA 2223140	A1	19961212	CA 1996-2223140		19960605
ZA 9604666	A	19970311	ZA 1996-4666		19960605
CN 1192216	A	19980902	CN 1996-195890		19960605
CN 1067082	B	20010613			
PT 853627	T	20040531	PT 1996-923234		19960605
ES 2214543	T3	20040916	ES 1996-923234		19960605
US 6184366	B1	20010206	US 1999-293856		19990416
US 6417172	B1	20020709	US 1999-449601		19991123
US 2002028927	A1	20020307	US 2001-774541		20010130
US 2003144503	A1	20030731	US 2002-144670		20020513
US 2003134805	A1	20030717	US 2002-167222		20020611
US 6683063	B2	20040127			
PRIORITY APPLN. INFO.:			US 1995-461675	A2	19950605
			US 1996-658656	A1	19960605
			US 1999-293856	A2	19990416
			US 1999-449601	A1	19991123
			US 2001-774541	B1	20010130

OTHER SOURCE(S): MARPAT 131:130224
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

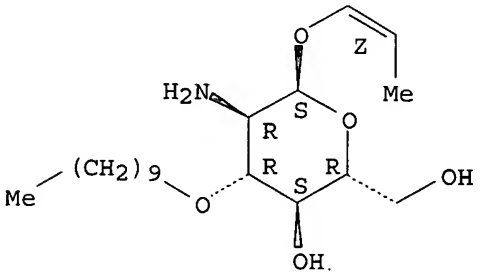
AB Novel substituted liposaccharides I (R1 = acyl; R2 = C5 to C15 alkyl; R3 = C5 to C18 acyl-alkenyl or acyl-alkynyl; R4 = C4 to C20 alkoxy-substituted alkyl; RA = CH2O-X where X is H or alkyl group; A1,A2 = OH, PO4H2, O-alkyl-OPO3H2, etc.) useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock are prepared Also provided are processes for preparing the compds., e.g. II, and intermediates useful therein. The aminodeoxy disaccharide analogs inhibit tumor necrosis factor production in vivo, exhibiting IC50s between 1.5 nM and 159 nM.

IT 185955-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted lipodisaccharides useful in the treatment and prevention of endotoxemia)

RN 185955-22-0 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

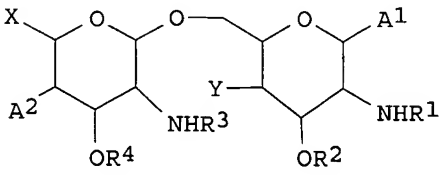


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:344486 HCAPLUS <<LOGINID::20070210>>
DOCUMENT NUMBER: 126:317571
TITLE: Preparation of disaccharide lipid A analogs for treating alcoholic liver disease
INVENTOR(S): Rossignol, Daniel P.; Thurman, Ronald G.; Christ, William J.; Lewis, Michael D.
PATENT ASSIGNEE(S): Eisai Research Institute, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711708	A1	19970403	WO 1996-US15861	19960927
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
AU 9672543	A	19970417	AU 1996-72543	19960927
US 5952309	A	19990914	US 1996-720131	19960927
PRIORITY APPLN. INFO.:			US 1995-4577P	P 19950929
			US 1995-4795P	P 19951002

OTHER SOURCE(S): MARPAT 126:317571
GI



I

AB Title lipid A analogs I (R1-R4 = unsatd. lipid acyl; A1, A2 = OPO3H2, OZOPO3H2, ZPO3H2, OZCO2H; Z = alkyl; X = alkyl alkoxy; Y = H, OH, halo, alkoxy, acyloxy) were prepared as endotoxin antagonists for treating alc. liver disease. These antagonists compds. are found to inhibit the swift increase in al. metabolism which typically accompanies ingestion of alc. and which may lead to the pathophysiol. abnormalities associated with alc. liver disease. Thus, disaccharide I [R1 = R3 = COCH2CO(CH2)10Me; R2 = CH2CH2CH(OH)(CH2)6Me, A1 = A2 = OPO(ONa)2; X = MeOCH2; Y = OH] was prepared and tested for the inhibition of tumor necrosis factor (TNF) in vivo in mice (ED50 = 5 μg per mouse).

IT 185954-97-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminodeoxy disaccharide lipid A analogs for treating alc. liver disease)

RN 185954-97-6 HCAPLUS

CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 1-(di-2-propenyl phosphate) 4-(2-propenyl carbonate) (9CI) (CA INDEX